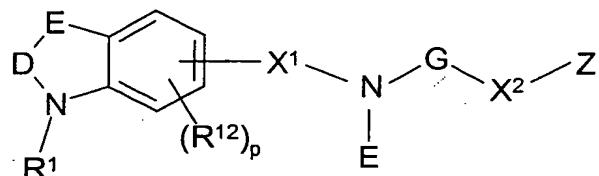


**Patent Claims****1. Compounds of the formula I**

5



10

in which

R¹ is H, A or SO₂A,

15

A is straight-chain or branched alkyl having from 1 to 10 carbon atoms, alkenyl having from 2 to 10 carbon atoms or alkoxy-alkyl having from 2 to 10 carbon atoms, and

20

D-E is R²C=CR⁴ or R²R³C-CR⁴R⁵,

in which

25

R², R³, R⁴ and R⁵ are selected, independently, from

H, A, cycloalkyl having from 3 to 7 carbon atoms, Hal,

CH₂Hal, CH(Hal)₂, C(Hal)₃, NO₂, (CH₂)ₙCN, (CH₂)ₙN(R⁶)₂,

(CH₂)ₙN(R⁶)Ar, (CH₂)ₙN(R⁶)Het, (CH₂)ₙN(Ar)₂, (CH₂)ₙN(Het)₂,

(CH₂)ₙCOOR⁶, (CH₂)ₙCOOAr, (CH₂)ₙCOOHet,

(CH₂)ₙCON(R⁶)₂, (CH₂)ₙCON(R⁶)Ar, (CH₂)ₙCON(R⁶)Het,

(CH₂)ₙCON(Ar)₂, (CH₂)ₙCON(Het)₂, (CH₂)ₙNR⁶COR⁶,

(CH₂)ₙNR⁶CON(R⁶)₂, (CH₂)ₙNR⁶SO₂A, (CH₂)ₙSO₂N(R⁶)₂,

(CH₂)ₙSO₂NR⁶(CH₂)ₘAr, (CH₂)ₙSO₂NR⁶(CH₂)ₘHet,

(CH₂)ₙS(O)ₘR⁶, (CH₂)ₙS(O)ₘAr, (CH₂)ₙS(O)ₘHet,

30

(CH₂)ₙOOOCR⁶, (CH₂)ₙHet, (CH₂)ₙAr, (CH₂)ₙCOR⁶,

(CH₂)ₙCO(CH₂)ₘAr, (CH₂)ₙCO(CH₂)ₘHet,

(CH<sub>2</sub>)<sub>n</sub>COO(CH<sub>2</sub>)<sub>m</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>COO(CH<sub>2</sub>)<sub>m</sub>Het, (CH<sub>2</sub>)<sub>n</sub>OR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>m</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>O(CH<sub>2</sub>)<sub>m</sub>Het, (CH<sub>2</sub>)<sub>n</sub>SR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>S(CH<sub>2</sub>)<sub>m</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>S(CH<sub>2</sub>)<sub>m</sub>Het, (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)(CH<sub>2</sub>)<sub>m</sub>Ar,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)(CH<sub>2</sub>)<sub>m</sub>Het, (CH<sub>2</sub>)<sub>n</sub>SO<sub>2</sub>N(R<sup>6</sup>)(CH<sub>2</sub>)<sub>m</sub>Ar,  
 5 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)SO<sub>2</sub>(CH<sub>2</sub>)<sub>m</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>SO<sub>2</sub>N(R<sup>6</sup>)(CH<sub>2</sub>)<sub>m</sub>Het,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)SO<sub>2</sub>(CH<sub>2</sub>)<sub>m</sub>Het, (CH<sub>2</sub>)<sub>n</sub>CON(R<sup>6</sup>)(CH<sub>2</sub>)<sub>m</sub>Ar,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CO(CH<sub>2</sub>)<sub>m</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>CON(R<sup>6</sup>)(CH<sub>2</sub>)<sub>m</sub>Het,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CO(CH<sub>2</sub>)<sub>m</sub>Het, CH=N-OA, CH<sub>2</sub>CH=N-OA,  
 (CH<sub>2</sub>)<sub>n</sub>NHOĀ, (CH<sub>2</sub>)<sub>n</sub>CH=N-Het, (CH<sub>2</sub>)<sub>n</sub>OCOR<sup>6</sup>,  
 10 (CH<sub>2</sub>)<sub>n</sub>OC(O)N(R<sup>6</sup>)<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>OC(O)NR<sup>6</sup>(CH<sub>2</sub>)<sub>m</sub>Ar,  
 (CH<sub>2</sub>)<sub>n</sub>OC(O)NR<sup>6</sup>(CH<sub>2</sub>)<sub>m</sub>Het, (CH<sub>2</sub>)<sub>n</sub>NR<sup>6</sup>COOR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>NR<sup>6</sup>COO(CH<sub>2</sub>)<sub>m</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>NR<sup>6</sup>COO(CH<sub>2</sub>)<sub>m</sub>Het,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CH<sub>2</sub>CH<sub>2</sub>OR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CH<sub>2</sub>CH<sub>2</sub>OCF<sub>3</sub>,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)C(R<sup>6</sup>)HCOOR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CH<sub>2</sub>COHet,  
 15 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CH<sub>2</sub>Het, (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CH<sub>2</sub>CH<sub>2</sub>N(R<sup>6</sup>)CH<sub>2</sub>COOR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>N(R<sup>6</sup>)CH<sub>2</sub>CH<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, CH=CHCOOR<sup>6</sup>,  
 CH=CHCH<sub>2</sub>NR<sup>6</sup>Het, CH=CHCH<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, CH=CHCH<sub>2</sub>OR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>N(COOR<sup>6</sup>)COOR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>N(CONH<sub>2</sub>)COOR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>N(CONH<sub>2</sub>)CONH<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>N(CH<sub>2</sub>COOR<sup>6</sup>)COOR<sup>6</sup>,  
 20 (CH<sub>2</sub>)<sub>n</sub>N(CH<sub>2</sub>CONH<sub>2</sub>)COOR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>N(CH<sub>2</sub>CONH<sub>2</sub>)CONH<sub>2</sub>,  
 (CH<sub>2</sub>)<sub>n</sub>CHR<sup>6</sup>COR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>CHR<sup>6</sup>COOR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>CHR<sup>6</sup>CH<sub>2</sub>OR<sup>6</sup>,  
 (CH<sub>2</sub>)<sub>n</sub>OCN or (CH<sub>2</sub>)<sub>n</sub>NCO, in which

25 R<sup>6</sup> is selected, independently, from H, A or cycloalkyl having  
 from 3 to 7 carbon atoms,

30 Het is a saturated, unsaturated or aromatic mono- or bicyclic  
 heterocyclic radical which is unsubstituted or mono- or poly-  
 substituted by A, Hal, NO<sub>2</sub>, CN, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, COOR<sup>6</sup>,  
 CON(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>COR<sup>6</sup>, NR<sup>6</sup>CON(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>SO<sub>2</sub>A, COR<sup>6</sup>,  
 SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, S(O)<sub>w</sub>A and/or OOCR<sup>6</sup>,

Ar is an aromatic hydrocarbon radical having from 6 to 14 carbon atoms which is unsubstituted or mono- or polysubstituted by A, Hal, NO<sub>2</sub>, CN, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, COOR<sup>6</sup>, CON(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>COR<sup>6</sup>, NR<sup>6</sup>CON(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>SO<sub>2</sub>A, COR<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, S(O)<sub>w</sub>A and/or OOCR<sup>6</sup>,

5 w is 0, 1, 2 or 3, and

n and m, independently of one another, are 0, 1, 2, 3, 4 or 5;

10 X<sup>1</sup> is (CHR<sup>7</sup>)<sub>g</sub> or (CHR<sup>7</sup>)<sub>h</sub>-Q-(CHR<sup>8</sup>)<sub>k</sub>, in which

Q is selected from O, S, N-R<sup>6</sup>, (O-CHR<sup>7</sup>)<sub>g</sub>, (CHR<sup>7</sup>-O)<sub>g</sub>, CR<sup>9</sup>=CR<sup>10</sup>, (O-CHR<sup>9</sup>CHR<sup>10</sup>)<sub>g</sub>, (CHR<sup>9</sup>CHR<sup>10</sup>-O)<sub>g</sub>, C=O, C=S, C=NR<sup>6</sup>, CH(OR<sup>6</sup>), C(OR<sup>6</sup>)(OR<sup>6</sup>), C(=O)O, OC(=O), OC(=O)O, C(=O)N(R<sup>6</sup>), N(R<sup>6</sup>)C(=O), C(=S)N(R<sup>6</sup>), N(R<sup>6</sup>)C(=S), OC(=O)N(R<sup>6</sup>), N(R<sup>6</sup>)C(=O)O, CH=N-O, CH=N-NR<sup>6</sup>, OC(O)NR<sup>6</sup>, NR<sup>6</sup>C(O)O, S=O, SO<sub>2</sub>, SO<sub>2</sub>NR<sup>6</sup> and NR<sup>6</sup>SO<sub>2</sub>,

15 g is 1, 2, 3, 4, 5 or 6,

h and k, independently of one another, are 0, 1, 2, 3, 4, 5 or 6, and

R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and R<sup>12</sup>, independently of one another, are as defined for R<sup>2</sup> to R<sup>5</sup>;

20 p is 0, 1, 2 or 3

E is H, A, (CH<sub>2</sub>)<sub>n</sub>Het, (CH<sub>2</sub>)<sub>n</sub>Ar or cycloalkyl having from 3 to 7 carbon atoms,

25

30

G is an optionally substituted alkylene radical having from 1 to 4 carbon atoms, where the substituents are selected from the meanings indicated for R<sup>4</sup>,

5 or

E and

10 G, together with the N atom to which they are bonded, are an unsubstituted or substituted 5-, 6- or 7-membered, mono- or bicyclic heterocyclic radical, which may have 1, 2 or 3 further heteroatoms selected from N, O and S,

X<sup>2</sup> is a bond or is selected, independently, from the meanings indicated for X<sup>1</sup>,

15 Z is H or is a saturated, mono- or polyethylenically unsaturated or aromatic carbocyclic radical having from 5 to 10 carbon atoms or a saturated, mono- or polyethylenically unsaturated or aromatic heterocyclic radical having from 4 to 9 carbon atoms, where the carbocyclic or heterocyclic radical may be mono- or polysubstituted, where the substituents are selected, independently of one another, from the meanings of R<sup>2</sup> to R<sup>5</sup> other than H, and where the heterocyclic radical contains from 1 to 4 heteroatoms selected, independently of one another, from N, O and S,

25 and

30 Hal is F, Cl, Br or I,  
and pharmaceutically usable derivatives, salts, solvates and stereoisomers and mixtures thereof.

## 2. Compounds of the formula I according to Claim 1, in which

A is straight-chain alkyl having from 1 to 4 carbon atoms or  
5 branched alkyl having from 3 to 6 carbon atoms, and

D-E is  $R^2C=CR^4$  or  $R^2R^3C-CR^4R^5$ , in particular  $R^2C=CR^4$ ,  
in which  $R^2$ ,  $R^3$  and  $R^5$  are selected, independently, from H, A  
and cycloalkyl having from 3 to 7 carbon atoms, and  
10  $R^4$  is Hal,  $CH_2Hal$ ,  $CH(Hal)_2$ ,  $C(Hal)_3$ ,  $NO_2$ ,  $(CH_2)_nCN$ ,  
 $(CH_2)_nCOOR^6$ ,  $(CH_2)_nCON(R^6)_2$ ,  $(CH_2)_nNR^6COR^6$ ,  
 $(CH_2)_nNR^6CON(R^6)_2$ ,  $(CH_2)_nNR^6SO_2A$ ,  $(CH_2)_nSO_2N(R^6)_2$ ,  
 $(CH_2)_nS(O)_wA$ ,  $(CH_2)_nOOCR^6$ ,  $(CH_2)_nCOR^6$ ,  
 $(CH_2)_nCO(CH_2)_mAr$ ,  $(CH_2)_nCO(CH_2)_mHet$ ,  
15  $(CH_2)_nCOO(CH_2)_mAr$ ,  $(CH_2)_nCOO(CH_2)_mHet$ ,  $(CH_2)_nOR^6$ ,  
 $(CH_2)_nO(CH_2)_mAr$ ,  $(CH_2)_nO(CH_2)_mHet$ ,  $(CH_2)_nSR^6$ ,  
 $(CH_2)_nS(CH_2)_mAr$ ,  $(CH_2)_nS(CH_2)_mHet$ ,  $(CH_2)_nN(R^6)(CH_2)_mAr$ ,  
 $(CH_2)_nN(R^6)(CH_2)_mHet$ ,  $(CH_2)_nSO_2N(R^6)(CH_2)_mAr$ ,  
20  $(CH_2)_nN(R^6)SO_2(CH_2)_mAr$ ,  $(CH_2)_nSO_2N(R^6)(CH_2)_mHet$ ,  
 $(CH_2)_nN(R^6)SO_2(CH_2)_mHet$ ,  $(CH_2)_nCON(R^6)(CH_2)_mAr$ ,  
 $(CH_2)_nN(R^6)CO(CH_2)_mAr$ ,  $(CH_2)_nCON(R^6)(CH_2)_mHet$ ,  
 $(CH_2)_nN(R^6)CO(CH_2)_mHet$ ,  $(CH_2)_nN(R^6)_2$ ,  $(CH_2)_nOCOR^6$ ,  
25  $(CH_2)_nOC(O)N(R^6)_2$ ,  $(CH_2)_nOC(O)NR^6(CH_2)_mAr$ ,  
 $(CH_2)_nOC(O)NR^6(CH_2)_mHet$ ,  $(CH_2)_nNR^6COOR^6$ ,  
 $(CH_2)_nNR^6COO(CH_2)_mAr$ ,  $(CH_2)_nNR^6COO(CH_2)_mHet$ ,  
 $(CH_2)_nN(R^6)CH_2CH_2OR^6$ ,  $(CH_2)_nN(R^6)CH_2CH_2OCF_3$ ,  
30  $(CH_2)_nN(R^6)C(R^6)HCOOR^6$ ,  $(CH_2)_nN(R^6)CH_2COHet$ ,  
 $(CH_2)_nN(R^6)CH_2Het$ ,  $(CH_2)_nN(R^6)CH_2CH_2N(R^6)CH_2COOR^6$ ,  
 $(CH_2)_nN(R^6)CH_2CH_2N(R^6)_2$ ,  $CH=CHCOOR^6$ ,  
 $(CH_2)_nN(COOR^6)COOR^6$ ,  $(CH_2)_nN(CONH_2)COOR^6$ ,  
 $(CH_2)_nN(CONH_2)CONH_2$ ,  $(CH_2)_nN(CH_2COOR^6)COOR^6$ ,  
 $(CH_2)_nN(CH_2CONH_2)COOR^6$ ,  $(CH_2)_nN(CH_2CONH_2)CONH_2$ ,

(CH<sub>2</sub>)<sub>n</sub>CHR<sup>6</sup>COR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>CHR<sup>6</sup>COOR<sup>6</sup> or  
(CH<sub>2</sub>)<sub>n</sub>CHR<sup>6</sup>CH<sub>2</sub>OR<sup>6</sup> and in particular Hal, CH<sub>2</sub>Hal, CH(Hal)<sub>2</sub>,  
C(Hal)<sub>3</sub>, NO<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>CN, (CH<sub>2</sub>)<sub>n</sub>COOR<sup>6</sup>, (CH<sub>2</sub>)<sub>n</sub>CON(R<sup>6</sup>)<sub>2</sub>,  
(CH<sub>2</sub>)<sub>n</sub>SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub> or (CH<sub>2</sub>)<sub>n</sub>S(O)<sub>w</sub>A,

5

m is 0, 1, 2, 3, 4 or 5 and

n is 0, 1, 2 or 3 and

in particular 0 or 1;

10

X<sup>1</sup> is (CHR<sup>7</sup>)<sub>g</sub> or Q-(CHR<sup>8</sup>)<sub>k</sub>, in which

Q is selected from O, S, N-R<sup>6</sup>, (O-CHR<sup>7</sup>)<sub>g</sub>, (CHR<sup>7</sup>-O)<sub>g</sub>,  
CR<sup>9</sup>=CR<sup>10</sup>, (O-CHR<sup>9</sup>CHR<sup>10</sup>)<sub>g</sub>, (CHR<sup>9</sup>CHR<sup>10</sup>-O)<sub>g</sub>, C=O, C=S,  
15 C=NR<sup>6</sup>, C(OR<sup>6</sup>)(OR<sup>6</sup>), C(=O)O, OC(=O), OC(=O)O,  
C(=O)N(R<sup>6</sup>), N(R<sup>6</sup>)C(=O), OC(=O)N(R<sup>6</sup>), N(R<sup>6</sup>)C(=O)O,  
CH=N-O, CH=N-NR<sup>6</sup>, OC(O)NR<sup>6</sup>, NR<sup>6</sup>C(O)O, S=O, SO<sub>2</sub>,  
SO<sub>2</sub>NR<sup>6</sup> and NR<sup>6</sup>SO<sub>2</sub>,

20

g is 1, 2, 3, 4, 5 or 6 and in particular 2, 3 or 4,

k is 0, 1, 2, 3, 4, 5 or 6 and in particular 1, 2 or 3, and

R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are selected, independently, from the meanings  
indicated for R<sup>2</sup> to R<sup>5</sup>,

25

X<sup>2</sup> is a bond or independently is (CHR<sup>7</sup>)<sub>g</sub> or Q-(CHR<sup>8</sup>)<sub>k</sub>, in which

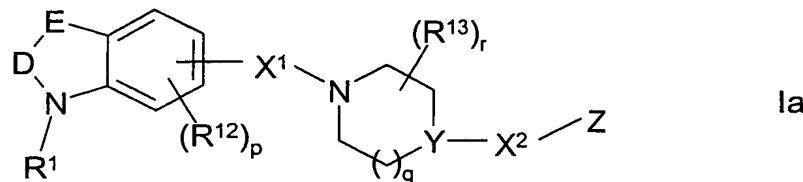
Q is selected from O, S, N-R<sup>6</sup>, (O-CHR<sup>7</sup>)<sub>g</sub>, (CHR<sup>7</sup>-O)<sub>g</sub>, (O-  
CHR<sup>9</sup>CHR<sup>10</sup>)<sub>g</sub>, (CHR<sup>9</sup>CHR<sup>10</sup>-O)<sub>g</sub>, C=O, CH(OR<sup>6</sup>), C(=O)O,  
30 OC(=O), C(=O)N(R<sup>6</sup>), N(R<sup>6</sup>)C(=O), S=O, SO<sub>2</sub>, SO<sub>2</sub>NR<sup>6</sup> and

$\text{NR}^6\text{SO}_2$ , where g in  $X^2$  is preferably 1 or 2 and k in  $X^2$  is preferably 0 or 1, and

5         $\text{R}^{12}$  is selected, independently, from the meanings of  $\text{R}^4$  other than H and in particular, independently, is F, Cl, Br, I, CN,  $\text{NO}_2$ ,  $\text{NH}_2$ ,  $\text{CF}_3$ ,  $\text{OCF}_3$ ,  $\text{C}(\text{NH})\text{NOH}$  or  $\text{SO}_2\text{CH}_3$ ,

10      and pharmaceutically usable derivatives, salts, solvates and stereoisomers and mixtures thereof.

15      3. Compounds according to Claim 1, selected from compounds of the formula Ia,



in which

25       $\text{R}^1$ , D-E and Z are as defined above, and in which

$\text{X}^1$  is  $(\text{CHR}^7)_g$  or  $(\text{CHR}^7)_h\text{-Q-}(\text{CHR}^8)_k$ , in which

30      Q is selected from O, S,  $\text{N-R}^6$ ,  $(\text{O-CHR}^7)_g$ ,  $(\text{CHR}^7-\text{O})_g$ ,  $\text{CR}^9=\text{CR}^{10}$ ,  $(\text{O-CHR}^9\text{CHR}^{10})_g$ ,  $(\text{CHR}^9\text{CHR}^{10}-\text{O})_g$ ,  $\text{C=O}$ ,  $\text{C=S}$ ,  $\text{C=NR}^6$ ,  $\text{CH}(\text{OR}^6)$ ,  $\text{C}(\text{OR}^6)(\text{OR}^6)$ ,  $\text{C}(=\text{O})\text{O}$ ,  $\text{OC}(=\text{O})$ ,  $\text{OC}(=\text{O})\text{O}$ ,  $\text{C}(=\text{O})\text{N}(\text{R}^6)$ ,  $\text{N}(\text{R}^6)\text{C}(=\text{O})$ ,  $\text{OC}(=\text{O})\text{N}(\text{R}^6)$ ,  $\text{N}(\text{R}^6)\text{C}(=\text{O})\text{O}$ ,  $\text{CH=N-O}$ ,  $\text{CH=N-NR}^6$ ,  $\text{OC(O)NR}^6$ ,  $\text{NR}^6\text{C(O)O}$ ,  $\text{S=O}$ ,  $\text{SO}_2$ ,  $\text{SO}_2\text{NR}^6$  and  $\text{NR}^6\text{SO}_2$ ,

g is 1, 2, 3, 4, 5 or 6,

h and k, independently of one another, are 0, 1, 2, 3, 4, 5 or 6, and

5 R<sup>6</sup> is selected, independently, from H, A or cycloalkyl having from 3 to 7 carbon atoms,

R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> are selected, independently, from the meanings indicated for R<sup>2</sup> to R<sup>5</sup>;

10 Y is CH, N, COR<sup>11</sup>, CSR<sup>11</sup>, an unsubstituted or substituted, spiro-linked carbocyclic radical having from 5 to 7 carbon atoms or an unsubstituted or substituted, spiro-linked, 5-, 6- or 7-membered heterocyclic radical having from 1 to 3 hetero-atoms selected from N, S or O,

15 R<sup>11</sup> is H, A, (CH<sub>2</sub>)<sub>n</sub>Het, (CH<sub>2</sub>)<sub>n</sub>Ar or cycloalkyl having from 3 to 7 carbon atoms,

20 X<sup>2</sup> is a bond or is selected, independently, from the meanings indicated for X<sup>1</sup>, and is preferably a bond or O, S, N-R<sup>7</sup>, CH<sub>2</sub> or CH<sub>2</sub>CH<sub>2</sub>,

25 p, q and r, independently of one another, are 0, 1, 2 or 3

and

Hal is F, Cl, Br or I, and

30 R<sup>12</sup> and R<sup>13</sup>, independently of one another, are selected from the meanings of R<sup>4</sup> other than H and are preferably, independ-

ently of one another, Hal, CN, NO<sub>2</sub>, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NO<sub>2</sub>, CN, COOR<sup>6</sup>, CON(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>COR<sup>6</sup>, NR<sup>6</sup>CON(R<sup>6</sup>)<sub>2</sub>, NR<sup>6</sup>SO<sub>2</sub>A, COR<sup>6</sup>, SO<sub>2</sub>NR<sup>6</sup>, S(O)<sub>w</sub>A, OOCR<sup>6</sup> and/or C(NH)NOH,

5 and pharmaceutically usable derivatives, salts, solvates and stereoisomers and mixtures thereof.

4. Compounds according to Claim 1 or 2, selected from

- 10 a) 6-{3-[4-(4-fluorobenzyl)-1-piperidyl]propyl}-1H-indole-3-carbo-nitrile;
- b) 6-{3-[4-(2,4-difluorobenzyl)-1-piperidyl]propyl}-1H-indole-3-carbonitrile;
- c) 6-{3-[4-(4-fluorophenoxy)-1-piperidyl]propyl}-1H-indole-3-carbo-nitrile;
- 15 d) 4-{3-[4-(4-fluorobenzyl)-1-piperidyl]propyl}-1H-indole-3-carbo-nitrile;
- e) 4-{3-[4-(2,4-difluorobenzyl)-1-piperidyl]propyl}-1H-indole-3-carbonitrile;
- f) 4-{3-[4-(4-fluorophenoxy)-1-piperidyl]propyl}-1H-indole-3-carbo-nitrile;
- 20 g) 5-{3-[4-(4-fluorophenoxy)-1-piperidyl]propyl}-1H-indole-3-carbo-nitrile;
- h) 5-{3-[4-(4-fluorobenzyl)-1-piperidyl]propyl}-1H-indole-3-carbo-nitrile;
- i) 5-{3-[4-(2,4-difluorobenzyl)-1-piperidyl]propyl}-1H-indole-3-carbonitrile;
- j) 5-{3-[4-(4-cyanophenyl)piperazin-1-yl]propyl}-1H-indole-3-carbo-nitrile;
- 25 k) 5-{4-[3-(3-cyano-1H-indol-6-yl)propyl]piperazin-1-yl}benzofuran-2-carboxamide;
- l) 5-{3-[4-(2-oxo-2H-chromen-6-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;

- m) 5-{4-[3-(3-cyano-1H-indol-4-yl)propyl]piperazin-1-yl}-benzofuran-2-carboxamide;
- n) 5-{4-[3-(3-cyano-1H-indol-5-yl)propyl]piperazin-1-yl}-benzofuran-2-carboxamide;
- 5 o) 5-{3-[4-(1H-indol-4-yl)-piperazin-1-yl]propyl}-1-methanesulfonyl-1H-indole-3-carbonitrile;
- p) 5-[3-(4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-8-yl)propyl]-1H-indole-3-carbonitrile;
- 10 q) 5-[3-(4-benzo[1,2,5]thiadiazol-4-yl)piperazin-1-yl)propyl]-1H-indole-3-carbonitrile;
- r) 3-{1-[3-(3-cyano-1H-indol-5-yl)propyl]piperidin-4-yl}-1H-indole-5-carboxamide;
- s) 5-[3-(4-quinolin-8-yl)piperazin-1-yl)propyl]-1H-indole-3-carbonitrile;
- 15 t) 5-{3-[4-(2,3-dihydrobenzo[1,4]dioxin-5-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- u) 1-methanesulfonyl-5-[3-(4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]-dec-8-yl)propyl]-1H-indole-3-carbonitrile;
- v) 5-{3-[4-(1H-indol-4-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- 20 w) 5-{3-[4-(1H-indol-3-yl)piperidin-1-yl]propyl}-1H-indole-3-carbonitrile;
- x) 5-{3-[4-(5-fluoro-1H-indol-3-yl)piperidin-1-yl]propyl}-1H-indole-3-carbonitrile;
- y) 3-{1-[3-(3-cyano-1H-indol-5-yl)propyl]piperidin-4-yl}-1H-indole-5-carbonitrile;
- 25 z) 5-{3-[4-(6-fluoro-1H-indol-3-yl)piperidin-1-yl]propyl}-1H-indole-3-carbonitrile;
- aa) 5-{3-[4-(4-fluoro-1H-indol-3-yl)piperidin-1-yl]propyl}-1H-indole-3-carbonitrile;
- 30 bb) 5-[3-(4-benzo[d]isothiazol-3-yl)piperazin-1-yl)propyl]-1H-indole-3-carbonitrile;

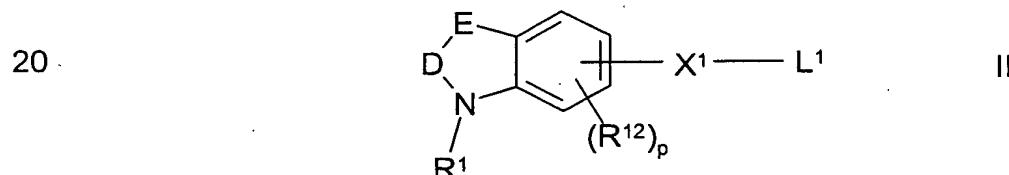
- cc) 4-{1-[3-(3-cyano-1H-indol-6-yl)propyl]piperidin-4-yloxy}benzamide;
- dd) 6-{3-[4-(2-cyano-3-methoxyphenyl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- 5 ee) 6-{3-[4-(4-cyano-3-methoxyphenyl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- ff) 6-{3-[4-(4-cyano-2-methoxyphenyl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- 10 gg) 4-[3-(4-pyrazol-1-ylmethyl-1-piperidyl)propyl]-1H-indole-3-carbonitrile;
- hh) N-(6-{4-[3-(3-cyano-1H-indol-5-yl)propyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)acetamide;
- ii) 5-{3-[(pyridin-3-ylmethyl)amino]propyl}-1H-indole-3-carbonitrile;
- jj) 5-{3-[4-(2,3-dihydrobenzo[1,4]dioxin-6-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- 15 kk) 5-[3-(4-pyrimidin-2-ylpiperazin-1-yl)propyl]-1H-indole-3-carbonitrile;
- ll) 5-{3-[(2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl)amino]propyl}-1H-indole-3-carbonitrile;
- 20 mm) 5-{3-[4-(3-methoxyphenyl)-3-methylpiperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- nn) 5-{3-[4-(1-methyl-1H-imidazo[4,5-c]pyridin-4-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- oo) N-(4-{1-[3-(3-cyano-1H-indol-5-yl)propyl]piperidin-4-ylmethyl}-phenyl)acetamide;
- 25 pp) 5-{3-[4-(4-pyridin-3-ylthiazol-2-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- qq) ethyl 2-{4-[3-(3-cyano-1H-indol-5-yl)propyl]piperazin-1-yl}-thiazole-4-carboxylate;
- 30 rr) 5-{3-[3-(2-oxopyrrolidin-1-yl)propylamino]propyl}-1H-indole-3-carbonitrile;

- ss) ethyl (6-{4-[3-(3-cyano-1H-indol-5-yl)propyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)carbamate;
- tt) 5-{3-[4-(3-amino-2-oxo-2H-chromen-6-yl)piperazin-1-yl]propyl}-1H-indole-3-carbonitrile;
- 5 uu) methyl (6-{4-[3-(3-cyano-1H-indol-5-yl)propyl]piperazin-1-yl}-2-oxo-2H-chromen-3-yl)carbamate;
- vv) 2-{4-[3-(3-cyano-1H-indol-5-yl)propyl]-piperazin-1-yl}thiazole-4-carboxamide;
- 10 ww) 4-[3-(3-cyano-1H-indol-5-yl)propyl]piperazine-1-thiocarboxamide;

and derivatives, salts and solvates thereof.

5. Process for the preparation of compounds of the formula I according  
15 to Claim 1 and salts thereof, characterised in that

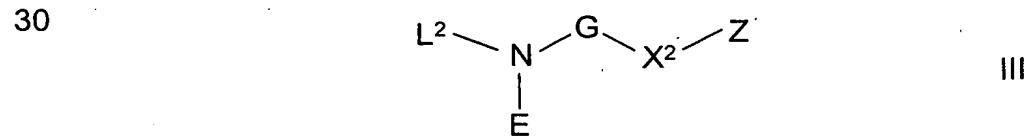
a) a compound of the formula II



in which

25  $L^1$  is Cl, Br, I, OH, a reactively esterified OH group or a diazonium group, and  $R^1$ , D, E,  $R^{12}$ , p and  $X^1$  are as defined in Claim 1,

b) is reacted with a compound of the formula III



in which

$L^2$  is H or a metal ion, and E, G,  $X^2$  and Z are as defined in  
Claim 1,

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and optionally

c) the resultant compound of the formula I is converted into one of its salts by treatment with an acid.

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6. Process for the preparation of a pharmaceutical composition, characterised in that a compound of the formula I according to Claim 1 and/or one of its physiologically acceptable salts is converted into a suitable dosage form together with at least one solid, liquid or semi-liquid excipient or adjuvant.

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7. Pharmaceutical composition, characterised by a content of at least one compound of the formula I according to Claim 1 and/or one of its physiologically acceptable salts and/or one of its solvates.

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8. Compounds of the formula I according to Claim 1 and physiologically acceptable salts and solvates thereof as medicaments.

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9. Compounds of the formula I according to Claim 1 and/or physiologically acceptable salts thereof as excitatory amino acid antagonists.

10. Compounds of the formula I according to Claim 1 and physiologically acceptable salts and solvates thereof as glycine transporter inhibitor.

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11. Compounds of the formula I according to Claim 1 and physiologically acceptable salts thereof as excitatory amino acid antagonists for combating neurodegenerative diseases, including cerebrovascular

diseases, epilepsy, schizophrenia, Alzheimer's disease, Parkinson's disease, Huntington's disease, cerebral ischaemia, infarction or psychoses.

- 5        12. Use of the compounds of the formula I according to Claim 1 for the preparation of a medicament for the prophylaxis and/or therapy of diseases in which 5HT plays a role.
- 10      13. Use of the compounds of the formula I corresponding to Claim 12, characterised in that the diseases are selected from the group comprising depression, strokes, cerebral ischaemia, extrapyramidal motor side effects of neuroleptics and of Parkinson's disease, Alzheimer's disease, amyotrophic lateral sclerosis, brain and spinal cord trauma, obsessive-compulsive disorder, sleeping disorders, tardive dyskinesia, learning disorders, age-related memory disorders, eating disorders, such as bulimia, and/or sexual dysfunctions.
- 15      14. Use of compounds of the formula I according to Claim 1 and/or physiologically acceptable salts or solvates thereof for the preparation of a medicament for the prophylaxis and/or treatment of schizophrenia, depression, dementia, Parkinson's disease, Alzheimer's disease, Lewy bodies dementia, Huntington's disease, Tourette's syndrome, anxiety, learning and memory impairments, neurodegenerative diseases and other cognitive impairments, as well as nicotine dependence and pain.
- 20      15. Use of the compounds of the formula I according to Claim 1 and/or physiologically acceptable salts thereof for the preparation of a medicament for combating neurodegenerative diseases, including cerebrovascular diseases, epilepsy, schizophrenia, Alzheimer's disease, Parkinson's disease, Huntington's disease, cerebral ischaemia, infarction or psychoses.
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16. Use of the compounds of the formula I according to Claim 1 and/or physiologically acceptable salts thereof for combating neurodegenerative diseases, including cerebrovascular diseases, epilepsy,

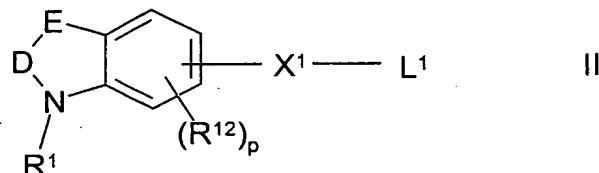
5 schizophrenia, Alzheimer's disease, Parkinson's disease, Huntington's disease, cerebral ischaemia, infarction or psychoses.

17. Process for the preparation of pharmaceutical compositions, characterised in that a compound of the formula I according to Claim 1

10 and/or one of its physiologically acceptable salts and/or one of its solvates is converted into a suitable dosage form together with at least one solid, liquid or semi-liquid excipient or adjuvant.

18. Compounds of the formula II

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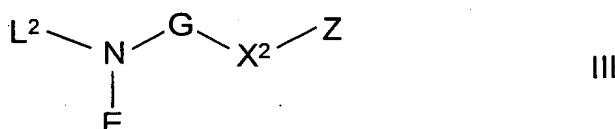


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in which

L<sup>1</sup> is Cl, Br, I, OH, a reactively esterified OH group or a diazonium group, and R<sup>1</sup>, D, E, R<sup>12</sup>, p and X<sup>1</sup> are as defined in Claim 1.

25 19. Compounds of the formula III



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in which

- 101 -

$L^2$  is H or a metal ion, and E, G,  $X^2$  and Z are as defined in  
Claim 1.

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